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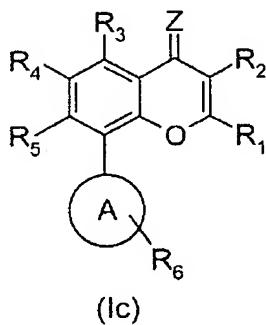
FEB 10 2006

Confirm. No. 4710
516745-20C1.1AMENDMENTS TO THE CLAIMS

Please amend the claims without prejudice, without admission, without surrender of subject matter, and without any intention of creating any estoppel as to equivalents, as follows

Claim 1 (previously presented)

1. A compound of general formula (Ic), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur, and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, -C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ is hydrogen, C₁-C₆-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, OR₁₁, halogen, cyano, nitro, NR₉R₁₀ or SR₁₁;

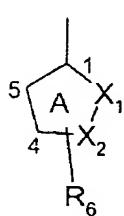
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R_3 , R_4 and R_5 are each independently selected from: hydrogen, C_1 - C_4 .alkyl, halogen, OR_{11} , C_1 - C_4 .alkylcarbonyloxy, NR_9R_{10} , $SO_2NR_9R_{10}$, carboxyl, cyano and nitro;

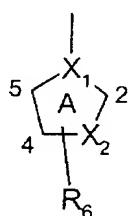
Z is O or S;

A is a 5- or 6- membered ring; wherein:

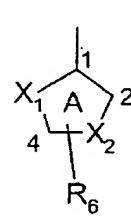
(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (v);



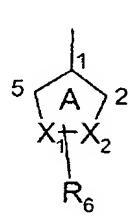
(i)



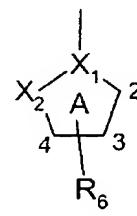
(ii)



(iii)



(iv)

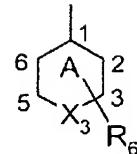


(v)

wherein X_1 and X_2 are each independently selected from: a carbon atom and a heteroatom selected from: oxygen, sulfur, and nitrogen, provided that at least one of X_1 and X_2 is a heteroatom, and when X_1 or X_2 is a nitrogen atom, it is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl; and

R_6 is $-C_1$ - C_4 .alkylene OR_{11} .

(II) the 6-membered ring is saturated and of the general structure (vi):

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(vi)

wherein X_3 is an oxygen atom, a sulfur atom, or a nitrogen atom, and when X_3 is nitrogen atom, it is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R_6 is $-C_1$ - C_4 .alkyleneOR₁₁ ;

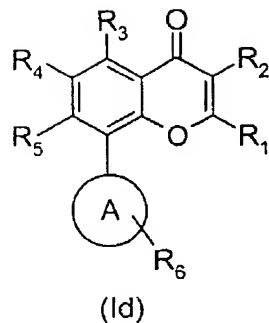
R_9 and R_{10} are each independently selected from: hydrogen, C_1 - C_4 .alkyl, C_1 - C_4 .alkanoyl, C_1 - C_4 .alkoxycarbonyl, C_1 - C_4 .alkylcarbonyl, carboxamide and sulfonamide;

R_{11} is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkanoyl, or C_1 - C_4 -alkoxycarbonyl;

R_{14} is hydrogen, C_1 - C_4 -alkyl, hydroxyl, $-NR_9R_{10}$, halogen, $-SH$, or $-S-C_1$ - C_4 -alkyl; and m is an integer of 0 to 6.

Claim 2 (previously presented)

2. A compound of the general formula (Id), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof

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wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur, and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

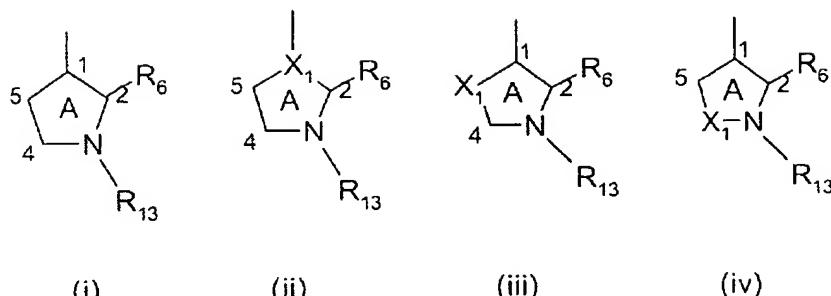
R₂ is hydrogen, C₁-C₆-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, OR₁₁, halogen, cyano, nitro, NR₉R₁₀ or SR₁₁;

R₃, R₄ and R₅ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkoxyl, halogen, OR₁₁, C₁-C₄.alkylcarbonyloxy, NR₉R₁₀, SO₂NR₉R₁₀, carboxy, cyano and nitro;

A is a 5- or 6- membered ring; wherein:

(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (iv);

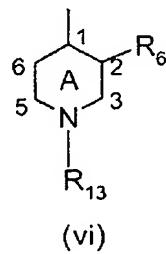
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wherein X_1 is either a carbon atom or a heteroatom selected from: oxygen, sulfur, and nitrogen, except that in structures (ii) and (iv) X_1 is either a carbon atom or a nitrogen atom, and wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} and $-CO(CH_2)_mR_{14}$, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R₆ is -C₁-C₄.alkyleneOR₁₁

(ii) the 6-membered ring is saturated and represented by the general structure (vi):



wherein R₁₃ is selected from: hydrogen, unsubstituted C₁-C₆-alkyl, or C₁-C₆-alkyl substituted by halogen, hydroxyl, or carboxyl, C₂-C₆-alkenyl, hydroxyl, C₁-C₆-alkoxy, C₁-C₄-alkylcarbonyl, toluenesulfonyl, cyano, SO₂R₁₀, -CO(CH₂)_mR₁₄, and phenyl, which is unsubstituted or

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substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₆ is -C₁-C₄-alkyleneOR₁₁;

R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkylcarbonyl, carboxamide and sulfonamide;

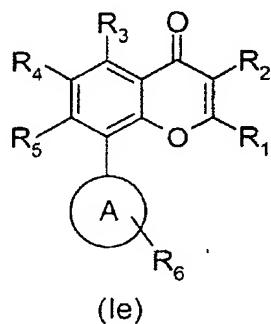
R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, or C₁-C₄-alkoxycarbonyl;

R₁₄ is hydrogen, C₁-C₄-alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S-C₁-C₄-alkyl; and

m is an integer of 0 to 6.

Claim 3 (previously presented)

3. A compound of the general formula (Ie), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a

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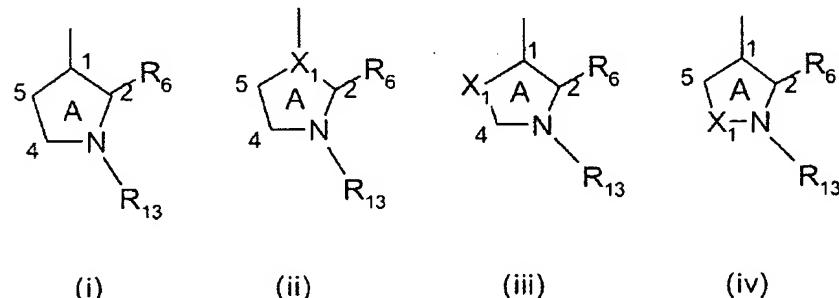
heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ and R₄ are hydrogen;

R₃ and R₅ are each independently selected from: hydroxyl, C₁-C₄-alkoxyl and C₁-C₄-alkylcarbonyloxy;

A is a 5- or 6-membered ring; wherein:

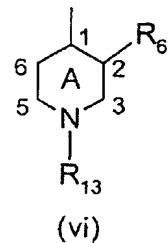
(I) the 5-membered ring is saturated or unsaturated and represented by any one of the general structures (i) to (iv);



wherin X₁ is either a carbon atom or a heteroatom selected from: oxygen, sulfur, and nitrogen, except that in structures (ii) and (iv) X₁ is either a carbon atom or a nitrogen atom, and wherein R₁₃ is selected from: hydrogen, unsubstituted C₁-C₆-alkyl, or C₁-C₆-alkyl substituted by halogen, hydroxyl, or carboxyl, C₂-C₆-alkenyl, hydroxyl, C₁-C₆-alkoxy, C₁-C₄-alkylcarbonyl, toluenesulfonyl, cyano, SO₂R₁₀, -CO(CH₂)_mR₁₄ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

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516745-2001.1R₆ is -C₁-C₄.alkyleneOR₁₁;

(II) the 6-membered ring is saturated and of the general structure (vi):



wherein R₁₃ is selected from: hydrogen, unsubstituted C₁-C₆-alkyl, or C₁-C₆-alkyl substituted by halogen, hydroxyl, or carboxyl, C₂-C₆-alkenyl, hydroxyl, C₁-C₆-alkoxy, C₁-C₄-alkylcarbonyl, toluenesulfonyl, cyano, SO₂R₁₀, -CO(CH₂)_mR₁₄, and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₆ is -C₁-C₄.alkyleneOR₁₁;

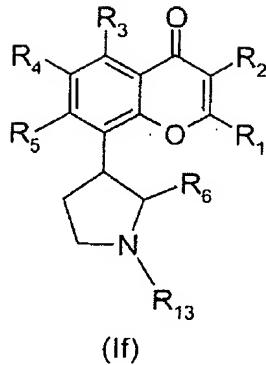
R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, C₁-C₄-alkoxycarbonyl, C₁-C₄-alkylcarbonyl, carboxamide and sulfonamide;

R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, or C₁-C₄-alkoxycarbonyl;

R₁₄ is hydrogen, C₁-C₄-alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S- C₁-C₄-alkyl; and m is an integer of 0 to 6.

Claim 4 (previously presented)

4. A compound of the general formula (If), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof

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wherein

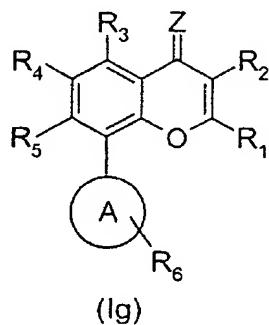
R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ and R₄ are hydrogen;R₃ and R₅ are each independently selected from: hydroxyl, C₁-C₄.alkoxyl and C₁-C₄-alkylcarbonyloxy;R₆ is -C₁-C₄-alkyleneOR₁₁;R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄-alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide;R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, or C₁-C₄-alkoxycarbonyl; and

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516745-2001.1R₁₃ is hydrogen or C₁-C₄-alkyl.

Claim 5 (withdrawn)

5. A compound of the general formula (Ig), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 5 or 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen, sulfur and phosphorus, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

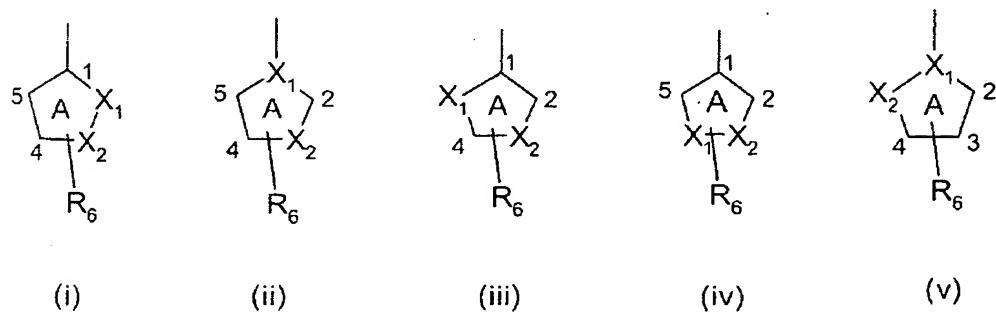
R₂ is hydrogen, C₁-C₆-alkyl, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, OR₁₁, halogen, cyano, nitro, NR₉R₁₀ or SR₁₁;

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R_3 , R_4 and R_5 are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkoxyl, halogen, OR₁₁, C₁-C₄.alkylcarbonyloxy, NR₉R₁₀, SO₂NR₉R₁₀, carboxyl, cyano and nitro;

Z is O or S;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (v);



wherein X_1 and X_2 independently represent a carbon atom and a nitrogen atom provided that at least one of X_1 and X_2 is a nitrogen atom and wherein the nitrogen atom is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, SO_2R_{10} , $-CO(CH_2)_mR_{14}$, cyano, phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

R_6 is $C_1\text{-}C_4\text{.alkyl}$, $-C_1\text{-}C_4\text{.alkanoyl}$, hydroxyl , $C_1\text{-}C_4\text{.alkoxyl}$, $-C_1\text{-}C_4\text{.alkoxycarbonyl}$, $-C_1\text{-}C_4\text{.alkyleneOR}_{11}$, $-C_1\text{-}C_4\text{.alkylenehalo}$, $-C_1\text{-}C_4\text{.alkyleneNR}_9R_{10}$, $C_1\text{-}C_4\text{-alkyleneC(O)OR}_9$, phenoxy , $-NR_9R_{10}$, SR_{12} , $S(O)_pR_{12}$, $-C(O)R_{12}$ or $-C(S)R_{12}$;

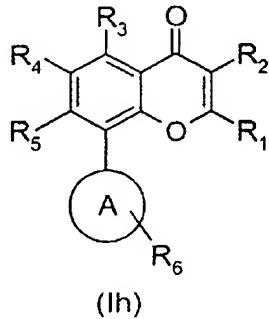
R_9 and R_{10} are each independently selected from: hydrogen, C_1 - C_4 .alkyl, C_1 - C_4 .alkanoyl, C_1 - C_4 .alkoxycarbonyl, C_1 - C_4 .alkylcarbonyl, carboxamide and sulfonamide;

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516745-2001.1 R_{11} is hydrogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkanoyl, or C_1 - C_4 -alkoxycarbonyl; R_{12} is hydrogen, halogen, C_1 - C_4 -alkyl, $-NR_9R_{10}$, or OR_9 ; R_{14} is hydrogen, C_1 - C_4 -alkyl, hydroxyl, $-NR_9R_{10}$, halogen, $-SH$, or $-S-C_1$ - C_4 -alkyl; m is an integer of 0 to 6; and n is an integer of 1 or 2.

Claim 6 (cancelled).

Claim 7 (withdrawn)

7. A compound of general formula (Ih), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

R_1 is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and

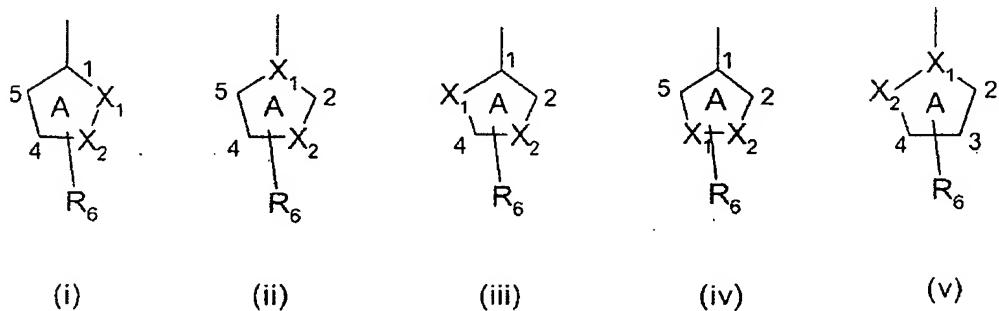
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sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄-alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R_2 and R_4 are hydrogen;

R_3 and R_5 are each independently selected from: hydroxyl, C_1 - C_4 -alkoxyl and C_1 - C_4 -alkylcarbonyloxy;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (v);



wherein X_1 and X_2 independently represent a carbon atom and a nitrogen atom, provided that at least one of X_1 and X_2 is a nitrogen atom and wherein the nitrogen atom is at least monosubstituted by R_{13} , wherein R_{13} is selected from: hydrogen, unsubstituted C_1 - C_6 -alkyl, or C_1 - C_6 -alkyl substituted by halogen, hydroxyl, or carboxyl, C_2 - C_6 -alkenyl, hydroxyl, C_1 - C_6 -alkoxy, C_1 - C_4 -alkylcarbonyl, toluenesulfonyl, cyano, SO_2R_{10} , $-CO(CH_2)_mR_{14}$ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C_1 - C_4 -alkyl, C_1 - C_4 -alkoxy, nitro, NR_9R_{10} , SR_{11} , trifluoromethyl, hydroxyl, cyano, carboxy, C_1 - C_4 -alkoxycarbonyl and $-C_1$ - C_4 -alkylenehydroxyl;

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R₆ is C₁-C₄.alkyl, -C₁-C₄.alkanoyl, hydroxyl, C₁-C₄.alkoxyl, -C₁-C₄.alkoxycarbonyl, -C₁-C₄.alkyleneOR₁₁, -C₁-C₄.alkylenehalo, -C₁-C₄.alkyleneNR₉R₁₀, -C₁-C₄.alkyleneC(O)OR₉, phenoxy, -NR₉R₁₀, SR₁₂, S(O)_nR₁₂, -C(O)R₁₂ or -C(S)R₁₂.

R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide;

R₁₁ is hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl or C₁-C₄.alkoxycarbonyl;

R₁₂ is hydrogen, halogen, C₁-C₄.alkyl, -NR₉R₁₀, or OR₉.

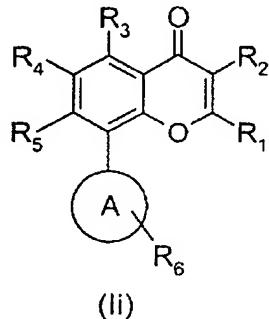
R₁₄ is hydrogen, C₁-C₄.alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S- C₁-C₄.alkyl;

m is an integer of 0 to 6; and

n is an integer of 1 or 2.

Claim 8 (withdrawn)

8. A compound of general formula (ii), or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof



wherein

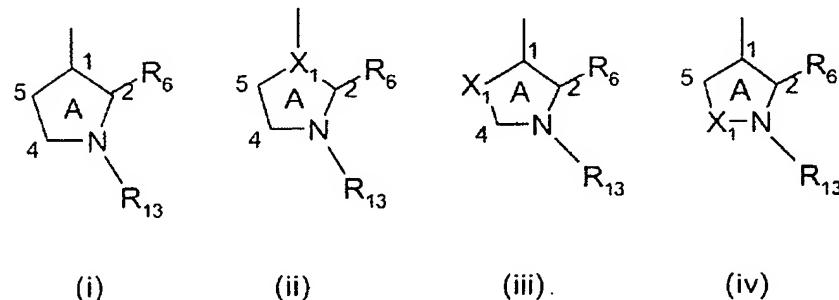
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R₁ is phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl, or is a heterocycle, which is a saturated, partially unsaturated or aromatic ring containing 6 ring atoms of which 1, 2 or 3 are identical or different heteroatoms selected from: nitrogen, oxygen and sulfur, and where the heterocycle is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

R₂ and R₄ are hydrogen;

R₃ and R₅ are each independently selected from: hydroxyl, C₁-C₄-alkoxyl and C₁-C₄-alkylcarbonyloxy;

A is a 5-membered saturated ring represented by any one of the general structures (i) to (iv);



wherein X₁ is a carbon atom or and wherein R₁₃ is selected from: hydrogen, unsubstituted C₁-C₆-alkyl, or C₁-C₆-alkyl substituted by halogen, hydroxyl, or carboxyl, C₂-C₆-alkenyl, hydroxyl, C₁-C₆-alkoxy, C₁-C₄-alkylcarbonyl, toluenesulfonyl, cyano, SO₂R₁₀, -CO(CH₂)_mR₁₄ and phenyl, which is unsubstituted or substituted by 1, 2, or 3 identical or different substituents selected from: halogen, C₁-C₄-alkyl, C₁-C₄-alkoxy, nitro, NR₉R₁₀, SR₁₁, trifluoromethyl, hydroxyl, cyano, carboxy, C₁-C₄alkoxycarbonyl and -C₁-C₄-alkylenehydroxyl;

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R₆ is C₁-C₄.alkyl, -C₁-C₄.alkanoyl, hydroxyl, C₁-C₄.alkoxyl, -C₁-C₄.alkoxycarbonyl, -C₁-C₄.alkyleneOR₁₁, -C₁-C₄.alkylenehalo, -C₁-C₄.alkyleneNR₉R₁₀, -C₁-C₄.alkyleneC(O)OR₉, phenoxy -NR₉R₁₀, SR₁₂, S(O)_nR₁₂, -C(O)R₁₂ or -C(S)R₁₂;

R₉ and R₁₀ are each independently selected from: hydrogen, C₁-C₄.alkyl, C₁-C₄.alkanoyl, C₁-C₄.alkoxycarbonyl, C₁-C₄.alkylcarbonyl, carboxamide and sulfonamide;

R₁₁ is hydrogen, C₁-C₄-alkyl, C₁-C₄-alkanoyl, or C₁-C₄-alkoxycarbonyl;

R₁₂ is hydrogen, halogen, C₁-C₄-alkyl, -NR₉R₁₀, or OR₉;

R₁₄ is hydrogen, C₁-C₄-alkyl, hydroxyl, -NR₉R₁₀, halogen, -SH, or -S- C₁-C₄.alkyl;

m is an integer of 0 to 6; and

n is an integer of 1 or 2.

Claim 9 (original)

9. A compound as claimed in claim 1, wherein R₁ is phenyl or pyridinyl, substituted by 1, 2 or 3 identical or different substituents selected from: halogen and nitro, R₂ and R₄ are hydrogen, R₃ and R₅ are hydroxyl, A is a saturated 5-membered ring represented by any one of the general structures (i) to (v), wherein X₁, X₂, R₆ and R₁₃ are as defined.

Claim 10 (original)

10. A compound as claimed in claim 1, wherein R₁ is phenyl or pyridinyl, substituted by 1, 2 or 3 identical or different substituents selected from: halogen and nitro, R₂ and R₄ are hydrogen, R₃ and R₅ are hydroxyl, A is a saturated 5-membered ring represented by any one of the general structures (i) to (v), wherein X₁ is carbon, X₂ is nitrogen, R₆ is -C₁-C₄.alkylenehydroxyl, and R₁₃ is C₁-C₄-alkyl.

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Claim 11 (currently amended)

11. A compound of the general formula (Ig) as claimed in claim 5, which is:
(+/-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(4-Bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(4-Bromo-phenyl)-5-hydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-7-methoxy-chromen-4-one;
(+)-*trans*-2-(4-Bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(3-Chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(3-Chloro-phenyl)-5-hydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-7-methoxy-chromen-4-one;
(+)-*trans*-2-(3-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-iodo-phenyl)-5,7-dimethoxy-chromen-4-one;

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(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-iodo-phenyl)-chromen-4-one;

(+)-*trans*-2-(2-Fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(3-Fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2,6-Difluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2,6-Difluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+/-)-*trans*-4-[5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-4-[5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;

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(-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-trifluoromethyl-phenyl)-chromen-4-one;
(-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-trifluoromethyl-phenyl)-chromen-4-one;
(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-phenyl-chromen-4-one;
(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-phenyl-chromen-4-one;
(+)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-thiophen-2-yl-chromen-4-one;
(+)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-thiophen-2-yl-chromen-4-one;
(+)-*trans*-4-[5,7-Dihydroxy-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-3-methyl-benzonitrile;
(+)-*trans*-4-[8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-3-methyl-benzonitrile;
(+/-)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+/-)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Bromo-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+/-)-*trans*-2-(2-Bromo-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+/-)-*trans*-2-[(3,5-Bis-trifluoromethyl)-phenyl]-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

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(+/-)-*trans*-2-[(3,5-Bis-trifluoromethyl)-phenyl]-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-methyl-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-5-methyl-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-nitro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-nitro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dihydroxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-pyridin-3-yl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-pyridin-3-yl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-5-nitrophenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dihydroxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-pyridin-3-yl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(4-nitrophenyl)-4H-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(4-nitrophenyl)-chromen-4-one;

(+/-)-*trans*-2-(4-Aminophenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-2-(2-methoxy-phenyl)-chromen-4-one;

(+/-)-*trans*-5,7-Dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-2-(2-hydroxy-phenyl)-chromen-4-one;

(+)-*trans*-3-Chloro-4-[8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-4-oxo-4H-chromen-2-yl]-benzonitrile;

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(+)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzonitrile;

(+)-*trans*-2-(4-Bromo-2-chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(4-Bromo-2-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-dimethylamino-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-methylamino-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-5-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-8-(2-Azidomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-8-(2-Aminomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-8-(2-Aminomethyl-1-methyl-pyrrolidin-3-yl)-2-(2-chloro-phenyl)-5,7-dihydroxy-chromen-4-one;

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(+/-)-*trans*-3-{[2-(2-Chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-methyl-pyrrolidin-2-yl}-acetonitrile;

(+/-)-*trans*-{3-[2-(2-Chloro-phenyl)-5,7-dihydroxy-4-oxo-4H-chromen-8-yl]-1-methyl-pyrrolidin-2-yl}-acetonitrile;

(+/-)-*trans*-2-[2-Chloro-phenyl-8-(2-mercaptopethyl-1-methyl-pyrrolidin-3-yl)]-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-mercaptopethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*- Acetic acid 3-[2-(2-chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-(4-methoxy-phenyl)-pyrrolidin-2-ylmethyl ester;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-[2-hydroxymethyl-1-(4-methoxy-phenyl)-pyrrolidin-3-yl]-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-[2-hydroxymethyl-1-(4-methoxy-phenyl)-pyrrolidin-3-yl]-chromen-4-one;

(+/-)-*trans*-Acetic acid-3-[2-(2-chloro-phenyl)-5,7-dimethoxy-4-oxo-4H-chromen-8-yl]-1-propyl-pyrrolidin-2-ylmethyl ester;

(+/-)-*trans*-2-(2-Chloro-phenyl)-8-(2-hydroxymethyl-1-propyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-propyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Chloro-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-3-Bromo-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-2-(2-Chloro-4-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

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(+/-)-*trans*-2-(4-Amino-2-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(2-Bromo-4-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-2-(4-Amino-2-bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+/-)-*trans*-4-Chloro-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-4-Bromo-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-benzoic acid;

(+/-)-*trans*-4-Bromo-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-4-Chloro-3-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-3-Chloro-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide;

(+/-)-*trans*-3-Bromo-4-[5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-4-oxo-4H-chromen-2-yl]-N-hydroxy-benzamide; or

(+/-)-*trans*-2-(2,4-Difluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Chloro-3-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Chloro-3-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-3-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

(+)-*trans*-2-(2-Bromo-3-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;

(+)-*trans*-2-(2-Bromo-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;

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(+)-*trans*-2-(2-Bromo-5-fluoro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Chloro-5-iodo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Chloro-5-iodo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-5-chloro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Bromo-5-chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+/-)-*trans*-2-(2-Chloro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-1-oxy-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-4-nitro-phenyl)-8-(2-hydroxymethyl-1-methylpyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Bromo-4-nitro-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(4-Amino-2-bromo-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(4-Amino-2-bromo-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-4-methoxy-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one;
(+)-*trans*-2-(2-Bromo-4-methoxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-2-(2-Bromo-4-hydroxy-phenyl)-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one;
(+)-*trans*-Acetic acid 8-(2-acetoxymethyl-1-methyl-pyrrolidin-3-yl)-5-hydroxy-2-(4-nitro-phenyl)-4-oxo-4H-chromen-7-yl ester;
(+)-*trans*-2-(2,4-Dichloro-5-fluoro-phenyl)-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-5,7-dimethoxy-chromen-4-one; or

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(+)-*trans*-2-(2,4-Dichloro-5-fluoro-phenyl-5,7-dihydroxy-8-(2-hydroxymethyl-1-methyl-pyrrolidin-3-yl)-chromen-4-one.

Claim 12 (previously presented)

12. A pharmaceutical composition for the treatment of a disease or disorder mediated by inhibition of cyclin dependent kinase, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and a pharmaceutically acceptable carrier.

Claim 13 (previously presented)

13. A pharmaceutical composition for the treatment of a disease or a disorder mediated by inhibition of cyclin dependent kinase, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and at least one further pharmaceutically active compound, together with a pharmaceutically acceptable carrier.

Claim 14 (previously presented)

14. A method for the treatment of a disease or a disorder mediated by inhibition of cyclin dependent kinase to a patient in need thereof, comprising administering an effective amount of a compound of the formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1.

Claim 15 (previously presented)

15. A method for the treatment of a disease or a disorder associated with excessive cell proliferation in a mammal in need thereof, comprising administering to said mammal a therapeutically effective amount of the compound of the formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1.

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Claim 16 (previously presented)

16. The method of claim 14, wherein the disease or disorder mediated by inhibition of cyclin dependent kinase is cancer.

Claim 17 (previously presented)

17. The method of claim 15, wherein the disease or disorder associated with excessive cell proliferation is cancer.

Claim 18 (currently amended)

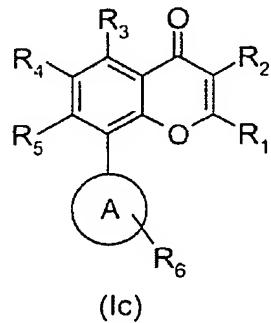
18. The method of claim 16, wherein the cancer is selected from the group consisting of cervical, breast, prostate, lung and ~~hystiolytic histiocytic lymphoma and breast cancer~~.

Claim 19 (currently amended)

19. The method of claim 17, wherein the cancer is selected from the group consisting of cervical, breast, prostate, lung and ~~hystiolytic histiocytic lymphoma and breast cancer~~.

Claim 20 (original)

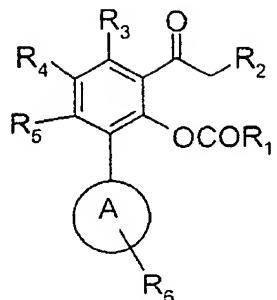
20. A process for the preparation of a compound of general formula (Ic), as claimed in claim 1, or a pharmaceutically acceptable salt thereof:



wherein

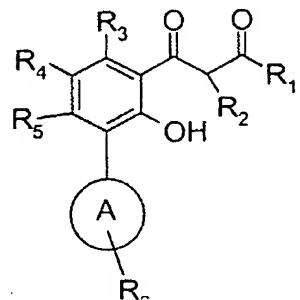
R₁, R₂, R₃, R₄, R₅, R₆ and A are as defined,

which process comprises reacting a compound of formula (XA):

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XA

or a compound of formula (XIIA):

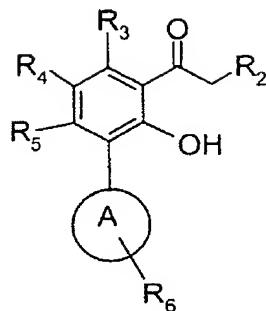


XII A

wherein in each case R₁, R₂, R₃, R₄, R₅, R₆ and A are as defined, with an organic or inorganic base, subsequently adding an acid to the reaction mixture capable of effecting cyclization, then adding an organic or inorganic base, and, if appropriate, converting the compound of formula (Ic) into a pharmaceutically acceptable salt.

Claim 21 (original)

21. A process according to claim 20, wherein the compound of formula (XIIA) is obtained by reacting a compound of formula (XIA)

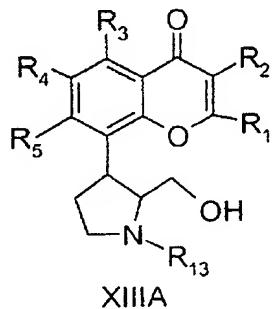
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XIA

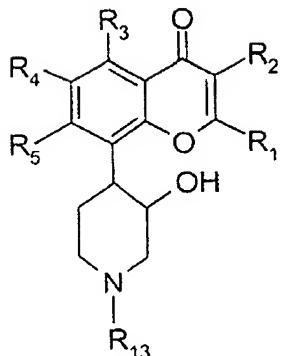
wherein R_2 , R_3 , R_4 , R_5 , R_6 and A are as defined above, with a carboxylic acid ester, an acid halide, or an activated ester in the presence of an organic or inorganic base in organic or inorganic solvent.

Claim 22 (original)

22. A process for the preparation of a compound of formula (XIIIA) or a pharmaceutically acceptable salt thereof:



wherein R_1 , R_2 , R_3 , R_4 , R_5 and R_{13} are as defined in claim 1, comprising reacting a compound of formula (VIIA)

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VII A

wherein R₁, R₂, R₃, R₄, R₅ and R₁₃ are as defined in claim 1, with a reagent suitable to effect replacement of the -OH group on the piperidino ring by a leaving group, in the presence of an organic or inorganic base, followed by adding a suitable organic base in the presence of a suitable organic solvent to effect contraction of the piperidino ring, and, if appropriate, converting the resultant compound of formula (XIII) into a pharmaceutically acceptable salt.

Claim 23 (cancelled).

Claim 24 (previously presented)

24. The compound of claim 4, wherein R₁₁ is hydrogen.

Claim 25 (previously presented)

25. A pharmaceutical composition for the treatment of a disease or a disorder, associated with excessive cell proliferation, comprising a therapeutically effective amount of a compound of general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and a pharmaceutically acceptable carrier.

Claim 26 (previously presented)

26. A pharmaceutical composition for the treatment of a disease or a disorder associated with excessive cell proliferation, comprising a therapeutically effective amount of a compound of

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general formula (Ic) or a stereoisomer, optical isomer, pharmaceutically acceptable salt, or pharmaceutically acceptable solvate thereof as claimed in claim 1, and at least one further pharmaceutically active compound, together with a pharmaceutically acceptable carrier.